79. The compound of claim 41, wherein said mammalian GPCR is an NMDA receptor, a norepinephrine transporter or a sigma receptor.

80. The compound of claim 1, 12, 20, or 28, wherein said compound has an IC_{50} less than 100 nM in an assay based on a mammalian GPCR.

81. The compound of claim 80, wherein said mammalian GPCR is an NMDA receptor, a norepinephrine transporter or a sigma receptor.

82. The compound of claim 1, 23, 39, or 55, wherein said compound has an IC_{50} less than 10 nM in an assay based on a mammalian GPCR.

83. The compound of claim 82, wherein said mammalian GPCR is an NMDA receptor, a norepinephrine transporter or a sigma receptor.

84. A formulation, comprising a compound of claim 1, 23, 39, or 55; and a pharmaceutically acceptable excipient.

85. A method of treating an acute or chronic ailment, disease or malady in a mammal that is due to an abnormality in a biochemical or physiological process associated with a G-protein-coupled receptor or ligand-gated ion channel, comprising the step of administering to said mammal a therapeutically effective amount of a compound of claim 1, 23, 39, or 55.

86. The method of claim 85, wherein said mammal is a primate, equine, canine or feline.

87. The method of claim 85, wherein said mammal is a human.

88. The method of claim 85, wherein said compound is administered orally.

89. The method of claim 85, wherein said compound is administered intravenously.

90. The method of claim 85, wherein said compound is administered sublingually.

91. The method of claim 85, wherein said compound is administered ocularly.

92. The method of claim 85, wherein said compound is administered transdermally.

93. The method of claim 85, wherein said compound is administered rectally.

94. The method of claim 85, wherein said compound is administered vaginally.

95. The method of claim 85, wherein said compound is administered nasally.

69. The compound of claim 55, wherein X is O or NR_2; m is 2; y is 1; R represents aryl or heteroaryl; and R_1 represents alkyl or aryl.

70. The compound of claim 55, wherein X is O or NR_2; m is 2; y is 1; R represents aryl or heteroaryl; R_1 represents alkyl or aryl; and R_3 represents independently for each occurrence H or alkyl.

71. The compound of claim 55, wherein X is O or NR_2; m is 2; y is 1; R represents aryl or heteroaryl; R_1 represents alkyl or aryl; R_3 represents independently for each occurrence H or alkyl; and R_4 represents cycloalkyl, aryl, or heteroaryl.

72. The compound of claim 55, wherein X is O or NR_2; m is 2; y is 1; R represents aryl or heteroaryl; R_1 represents alkyl or aryl; R_3 represents independently for each occurrence H or alkyl; R_4 represents cycloalkyl, aryl, or heteroaryl; and R_5 and R_6 are selected independently for each occurrence from the group consisting of H, alkyl, OR_2, aryl, heteroaryl, and F.

73. The compound of claim 55, wherein X is O or NR_2; m is 2; y is 1; R represents aryl or heteroaryl; R_1 represents alkyl or aryl; R_3 represents independently for each occurrence H or alkyl; R_4 represents cycloalkyl, aryl, or heteroaryl; R_5 and R_6 are selected independently for each occurrence from the group consisting of H, alkyl, OR_2, aryl, heteroaryl, and F; and R_8 and R_9 are selected independently for each occurrence from the group consisting of H, alkyl, OR_2, aryl, heteroaryl, and F.

74. The compound of claim 1, 23, 39, or 55, wherein said compound is a single stereoisomer.

75. The compound of claim 1, 23, 39, or 55, wherein said compound has an IC_{50} less than 1 μM in an assay based on a mammalian GPCR or ligand-gated ion channel.

76. The compound of claim 1, 23, 39, or 55, wherein said compound has an IC_{50} less than 100 nM in an assay based on a mammalian GPCR or ligand-gated ion channel.

77. The compound of claim 1, 23, 39, or 55, wherein said compound has an IC_{50} less than 10 nM in an assay based on a mammalian GPCR or ligand-gated ion channel.

78. The compound of claim 1, 23, 39, or 55, wherein said compound has an IC_{50} less than 1 μM in an assay based on a mammalian GPCR.

96. A method of treating a psychiatric disorder in a mammal, comprising the step of:

administering to said mammal a therapeutically effective amount of a compound of claim 23, 39, or 55.

97. The method of claim 96, wherein said psychiatric disorder is a psychosis.

98. The method of claim 96, wherein said psychiatric disorder is schizophrenia.

99. The method of claim 96, wherein said psychiatric disorder is paranoia, manic depression, or depression.

100. The method of claim 96, wherein said mammal is a primate, equine, canine or feline.

101. The method of claim 96, wherein said mammal is a human.

102. The method of claim 96, wherein said compound is administered orally.

103. The method of claim 96, wherein said compound is administered intravenously.

104. The method of claim 96, wherein said compound is administered sublingually.

105. The method of claim 96, wherein said compound is administered ocularly.

106. The method of claim 96, wherein said compound is administered transdermally.

107. The method of claim 96, wherein said compound is administered rectally.

108. The method of claim 96, wherein said compound is administered vaginally.

109. The method of claim 96, wherein said compound is administered nasally.

110. A method of treating a mammal suffering from an anxiety disorder, a dissociative disorder, a mood disorder, a personality disorder, a psychosexual disorder, an eating disorder, drug addiction, drug dependence, depression, manic depression, paranoia, psychosis, schizophrenia, or inflammatory pain, comprising the step of:

administering to said mammal a therapeutically effective amount of a compound of claim 23, 39, or 55.

111. The method of claim 110, wherein said mammal is a primate, equine, canine or feline.

112. The method of claim 110, wherein said mammal is a human.

113. The method of claim 110, wherein said compound is administered orally.

114. The method of claim 110, wherein said compound is administered intravenously.

115. The method of claim 110, wherein said compound is administered sublingually.

116. The method of claim 110, wherein said compound is administered ocularly.

117. The method of claim 110, wherein said compound is administered transdermally.

118. The method of claim 110, wherein said compound is administered rectally.

119. The method of claim 110, wherein said compound is administered vaginally.

120. The method of claim 110, wherein said compound is administered nasally.